

chain nodes :

16 17 21

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15

ring/chain nodes :

18

chain bonds :

2-16 5-21 10-17 11-16 11-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 8-12 9-10 9-15 10-11 12-13 13-14 14-15

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-21 7-8 7-11 9-10 10-11 10-17 11-18

exact bonds :

2-16 11-16

normalized bonds :

8-9 8-12 9-15 12-13 13-14 14-15

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom  
12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 21:CLASS

10/069,073

=> d his

(FILE 'HOME' ENTERED AT 14:39:17 ON 04 MAY 2004)

FILE 'REGISTRY' ENTERED AT 14:39:25 ON 04 MAY 2004

L1 STRUCTURE UPLOADED

L2 QUE L1

L3 4 S L2

L4 121 S L2 SSS FUL

FILE 'CAPLUS' ENTERED AT 14:39:47 ON 04 MAY 2004

L5 17 S L4

FILE 'CAOLD' ENTERED AT 14:39:54 ON 04 MAY 2004

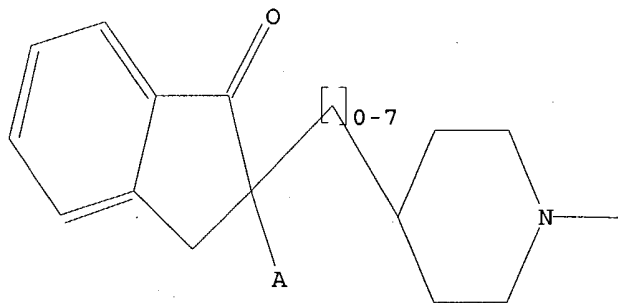
L6 0 S L4

FILE 'CAPLUS' ENTERED AT 14:40:03 ON 04 MAY 2004

=> d l2

L2 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation..

L2 QUE ABB=ON PLU=ON L1

=> d ibib abs hitstr 1-17 l5

10/069,073

ANSWER 1 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ACCESSION NUMBER: 2004:95406 CAPLUS

DOCUMENT NUMBER: 140:146012

TITLE: Process for the preparation of donepezil

INVENTOR(S): Kampi, Joseph; Lerman, Ori; Arad, Oded; Alnabari, Mohammed; Sery, Yana

PATENT ASSIGNEE(S): Chemagis Ltd., Israel

SOURCE: Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

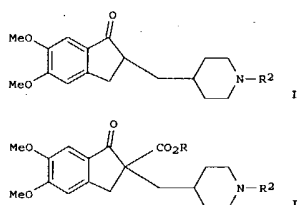
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1386607	A1	20040204	EP 2003-253336	20030528
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2004131465	A2	20040430	JP 2003-154618	20030530
US 2004048893	A1	20040311	US 2003-459662	20030624
PRIORITY APPL. INFO.:			IL 2002-150982	20020730
OTHER SOURCE(S):		MARPAT 140:146012		

GI



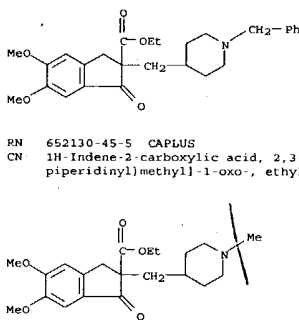
AB The invention provides a process for the preparation of compds I by hydrolysis and decarboxylation of compds. II (wherein R and R2 are independently a C1-C4 alkyl group or an aralkyl group). Thus, refluxing 1-benzyl-4-((5,6-dimethoxy-2-ethoxycarbonyl)indan-1-yl)-2-yl)methyl)piperidine with KOH in aqueous ethanol for 60 min. gave 80% donepezil.

IT 652130-40-OP 652130-41-1P 652130-42-2P 652130-44-4P 652130-45-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of donepezil)

L5 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

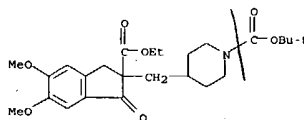
FORMAT

L5 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 652130-40-0 CAPLUS

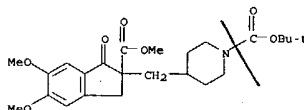
CN 1-Piperidinecarboxylic acid, 4-[[2-(ethoxycarbonyl)-2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl]methyl]-, 1,1-dimethylethyl ester (9CI)

(CA INDEX NAME)



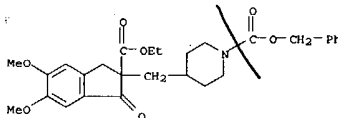
RN 652130-41-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[2,3-dihydro-5,6-dimethoxy-2-(methoxycarbonyl)-1-oxo-1H-inden-2-yl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 652130-42-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[2-(ethoxycarbonyl)-2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 652130-44-4 CAPLUS

CN 1H-Indene-2-carboxylic acid, 2,3-dihydro-5,6-dimethoxy-1-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:591005 CAPLUS

DOCUMENT NUMBER: 139:149530

TITLE: Preparation of 2-(4-piperidinylalkyl)-1-indanone derivatives as sigma receptor binders

INVENTOR(S): Iimura, Yoichi; Kosasa, Takashi; Yamanishi, Yoshihara

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXDW

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

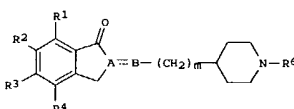
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061658	A1	20030731	WO 2003-JP553	20030122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPL. INFO.: JP 2002-13362 A 20020122

OTHER SOURCE(S): JP 2002-13421 A 20020122

GI



AB Disclosed are indanone deriva. and excellent sigma receptor binders containing an indanone deriva. represented by the following formula (I), pharmacol. acceptable salts thereof, or hydrates of either [wherein R1-R4 = H, halo, HO, cyano, each (un)substituted C1-6 alkyl, C3-8 cycloalkyl, C1-6 alkoxy, C3-8 cycloalkoxy, C1-6 acyl, C1-6 alkoxy, C1-6 aminocarbonyloxy, di(C1-6 alkyl)aminocarbonyloxy, amino, CONH2, or C1-6 thioalkoxy, NO2, SH; or R1 and R2, R2 and R3, or R3 and R4 together may form an alicyclic, an aromatic cyclic, or a heterocyclic ring, or an alkylenedioxy ring; the partial structure of A-B with a dotted line represents -CH-CH2, C-CH, C(R7)-CH2; m = an integer of 0-5; R5 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, benzyl, alicyclylmethyl, or heterocyclylmethyl, 2,2-(alkylenedioxy)ethyl; the compound is neither 1-benzyl-4-((5,6-dimethoxy-1-oxoindan-2-yl)methyl)piperidine nor a pharmacol. acceptable salt thereof nor a

LS ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 hydrate of either). These compds. are sigma receptor antagonists and agonists and are useful for the prevention, treatment, or improvement of mental disorders such as disorders accompanied by cerebral vascular dementia and/or senile dementia (in particular aggressive behavior, mental excitement, wandering, delirium, hallucination, and shaking), schizophrenia, emotional disturbance, depression, neurosis, psychophysiol. (psychosomatic) disorder, and anxiety. They are also acetylcholine esterase inhibitors and useful for the prevention, treatment, or improvement of cerebral vascular dementia, senile dementia (in particular Alzheimer's type dementia), attention deficiency shaking disorder, glaucoma, myasthenia gravis, and migraine headache. Thus, 0.20 g 1-benzyl-4-[(6-hydroxy-5-methoxy-1-oxo-indan-2-yl)methyl]piperidine was dissolved in 20 mL THF, successively treated with 0.064 mL ethanol, 0.29 g Ph3P, and 0.1 mL di-Et azodicarboxylate, stirred at room temp. overnight to give, after workup and silica gel chromatog., 83%

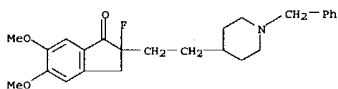
1-benzyl-4-[(6-ethoxy-5-methoxy-1-oxo-indan-2-yl)methyl]piperidine (II). II.HCl, 1-cycloheptylmethyl-4-[(5,6-diethoxy-2-fluoro-1-oxoindan-2-yl)methyl]piperidine hydrochloride, and Donepezil hydrochloride in vitro showed IC50 of 5.1, 1.1, and 18.7 µM, resp., for inhibiting the binding of 3H-DTG to α-receptor of guinea pig's brain membrane.

IT 290308-78-0P 290308-79-1P 290308-80-4P  
 290308-81-5P 290308-82-6P 290308-83-7P  
 290308-84-8P 290308-85-9P 290308-86-0P  
 290308-87-1P 290308-88-2P 290308-89-4P  
 290308-90-5P 290309-00-1P 290309-01-2P  
 290309-02-3P 290309-07-8P 290309-08-9P  
 290309-09-0P 290309-10-3P 290309-11-4P  
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 329010-74-4P 571143-95-8P 571143-96-9P  
 571143-97-0P 571143-98-1P 571143-99-2P  
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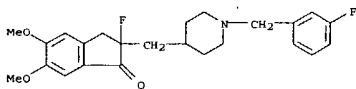
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-(piperidinylalkyl)-1-indanone derivs. as sigma receptor agonists and antagonists and acetylcholine esterase inhibitors for treatment, preparation, or improvement of mental disorders)

LS ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

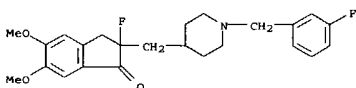


RN 290308-82-6 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[(1-[(3-fluorophenyl)methyl]-4-piperidinyl)methyl]-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

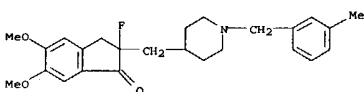


● HCl

RN 290308-83-7 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[(1-[(3-fluorophenyl)methyl]-4-piperidinyl)methyl]-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



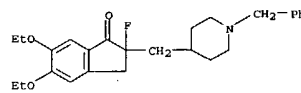
RN 290308-84-8 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[(1-[(3-methylphenyl)methyl]-4-piperidinyl)methyl]-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

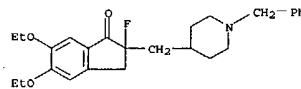
LS ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 290308-78-0 CAPLUS  
 CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2,3-dihydro-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

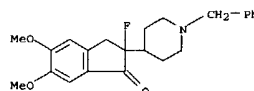


● HCl

RN 290308-79-1 CAPLUS  
 CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2,3-dihydro-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



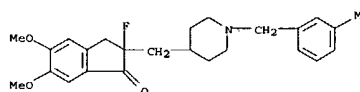
RN 290308-80-4 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



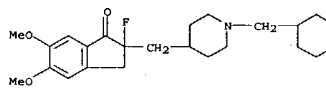
RN 290308-81-5 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

LS ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 290308-85-9 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[(1-[(3-methylphenyl)methyl]-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

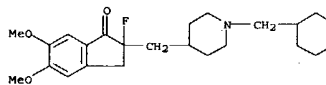


RN 290308-86-0 CAPLUS  
 CN 1H-Inden-1-one, 2-[(1-(cyclohexylmethyl)-4-piperidinyl)methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



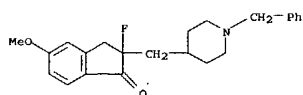
● HCl

RN 290308-87-1 CAPLUS  
 CN 1H-Inden-1-one, 2-[(1-(cyclohexylmethyl)-4-piperidinyl)methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy- (9CI) (CA INDEX NAME)



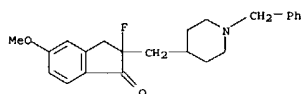
RN 290308-97-3 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5-methoxy-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

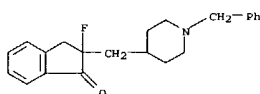


● HCl

RN 290308-98-4 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



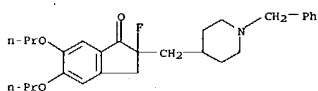
RN 290308-99-5 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

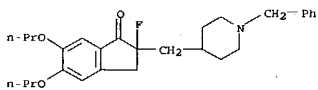
RN 290309-00-1 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

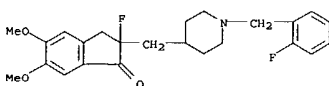


● HCl

RN 290309-08-9 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-5,6-dipropoxy- (9CI) (CA INDEX NAME)

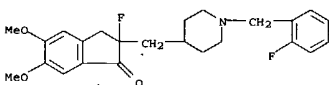


RN 290309-09-0 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[[1-[(2-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



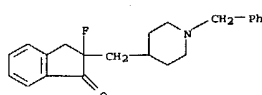
● HCl

RN 290309-10-3 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[[1-[(2-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro-5,6-dimethoxy- (9CI) (CA INDEX NAME)

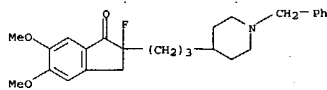


RN 290309-11-4 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

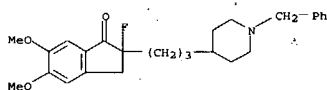


RN 290309-01-2 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



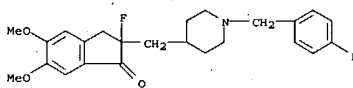
● HCl

RN 290309-02-3 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



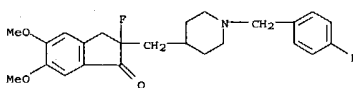
RN 290309-07-8 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-5,6-dipropoxy-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 piperidinyl]methyl]-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

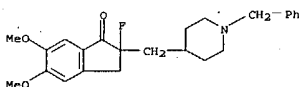


● HCl

RN 290309-12-5 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro-5,6-dimethoxy- (9CI) (CA INDEX NAME)



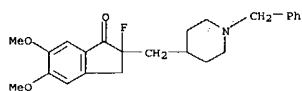
RN 307307-69-3 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 307307-70-6 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

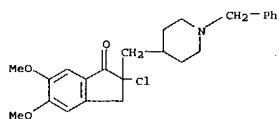
10/069,073

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



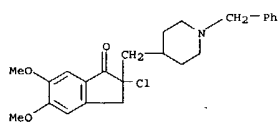
● HCl

RN 329010-62-0 CAPLUS  
CN 1H-Inden-1-one,  
2-chloro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



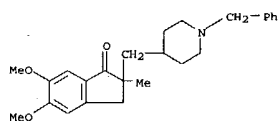
● HCl

RN 329010-63-1 CAPLUS  
CN 1H-Inden-1-one,  
2-chloro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



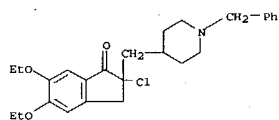
RN 329010-64-2 CAPLUS  
CN 1H-Inden-1-one, 2-bromo-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



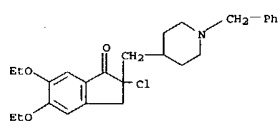
● HCl

RN 329010-71-1 CAPLUS  
CN 1H-Inden-1-one, 2-chloro-5,6-diethoxy-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



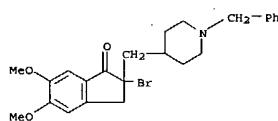
● HCl

RN 329010-72-2 CAPLUS  
CN 1H-Inden-1-one, 2-chloro-5,6-diethoxy-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

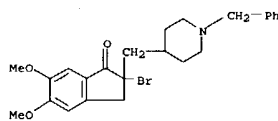


RN 329010-73-3 CAPLUS  
CN 1H-Inden-1-one, 2-chloro-5-ethoxy-2,3-dihydro-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

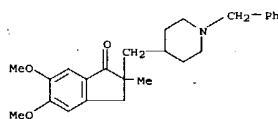


RN 329010-65-3 CAPLUS  
CN 1H-Inden-1-one, 2-bromo-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



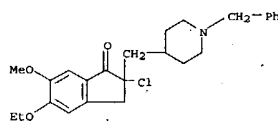
● HCl

RN 329010-68-6 CAPLUS  
CN 1H-Inden-1-one,  
2,3-dihydro-5,6-dimethoxy-2-methyl-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



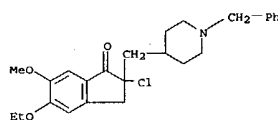
RN 329010-69-7 CAPLUS  
CN 1H-Inden-1-one,  
2,3-dihydro-5,6-dimethoxy-2-methyl-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

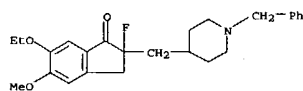


● HCl

RN 329010-74-4 CAPLUS  
CN 1H-Inden-1-one, 2-chloro-5-ethoxy-2,3-dihydro-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



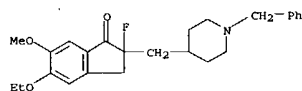
RN 571143-95-8 CAPLUS  
CN 1H-Inden-1-one, 6-ethoxy-2-fluoro-2,3-dihydro-5-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

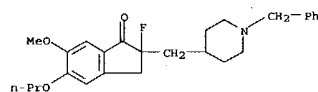
RN 571143-96-9 CAPLUS  
CN 1H-Inden-1-one, 5-ethoxy-2-fluoro-2,3-dihydro-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



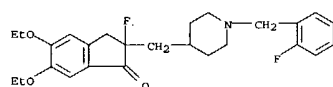
● HCl

RN 571143-97-0 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-5-propoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

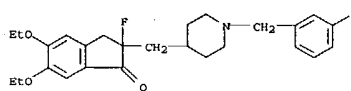
RN 571143-98-1 CAPLUS  
 CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2-[[1-[(2-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

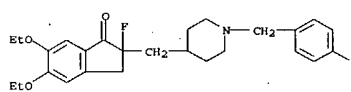
RN 571143-99-2 CAPLUS  
 CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2-[[1-[(3-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



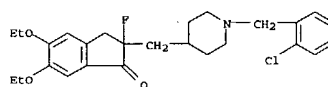
● HCl

RN 571144-00-8 CAPLUS  
 CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

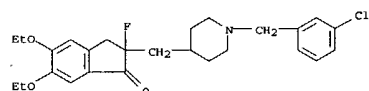
RN 571144-01-9 CAPLUS  
 CN 1H-Inden-1-one, 2-[[1-[(2-chlorophenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

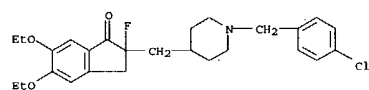
RN 571144-02-0 CAPLUS  
 CN 1H-Inden-1-one, 2-[[1-[(3-chlorophenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



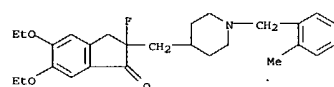
● HCl

RN 571144-03-1 CAPLUS  
 CN 1H-Inden-1-one, 2-[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

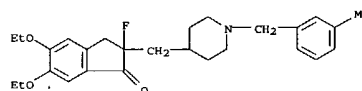
RN 571144-04-2 CAPLUS  
 CN 1H-Inden-1-one, 2-[[1-[(2-methylphenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

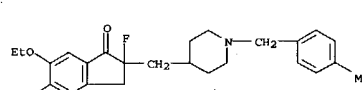
RN 571144-05-3 CAPLUS  
 CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2,3-dihydro-2-[[1-[(3-methylphenyl)methyl]-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



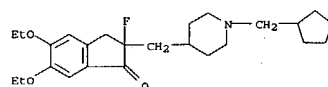
● HCl

RN 571144-06-4 CAPLUS  
 CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2,3-dihydro-2-[[1-[(4-methylphenyl)methyl]-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 571144-07-5 CAPLUS  
 CN 1H-Inden-1-one, 2-[[1-[(cyclopentylmethyl)-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

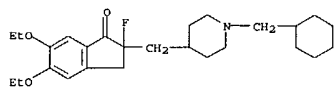


● HCl

RN 571144-08-6 CAPLUS  
 CN 1H-Inden-1-one, 2-[[1-[(cyclohexylmethyl)-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

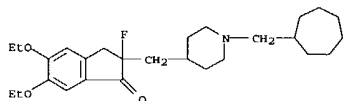
10/069,073

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



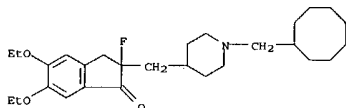
● HCl

RN 571144-09-7 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-(cycloheptylmethyl)-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

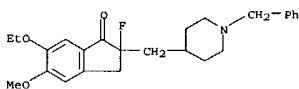
RN 571144-10-0 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-(cyclooctylmethyl)-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



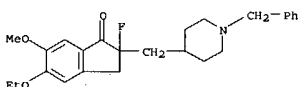
● HCl

RN 571144-11-1 CAPLUS  
CN Acetonitrile,  
[[2-fluoro-2,3-dihydro-6-methoxy-1-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-inden-5-yl]oxy]-, monohydrochloride (9CI) (CA INDEX NAME)

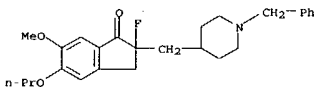
L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



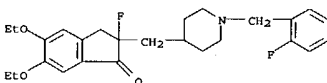
RN 571144-42-8 CAPLUS  
CN 1H-Inden-1-one, 5-ethoxy-2-fluoro-2,3-dihydro-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 571144-43-9 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-5-propoxy- (9CI) (CA INDEX NAME)

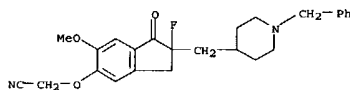


RN 571144-44-0 CAPLUS  
CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2-[[1-[(2-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



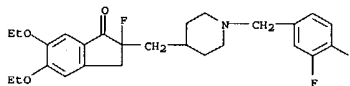
RN 571144-45-1 CAPLUS  
CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2-[[1-[(3-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



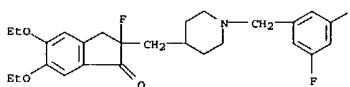
● HCl

RN 571144-14-4 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-[(3,4-difluorophenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

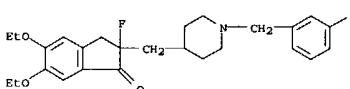
RN 571144-15-5 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-[(3,5-difluorophenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



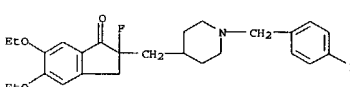
● HCl

RN 571144-41-7 CAPLUS  
CN 1H-Inden-1-one, 6-ethoxy-2-fluoro-2,3-dihydro-5-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

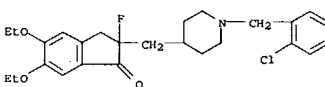
L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



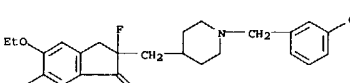
RN 571144-46-2 CAPLUS  
CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 571144-47-3 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-[(2-chlorophenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 571144-48-4 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-[(3-chlorophenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

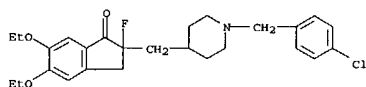


RN 571144-49-5 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

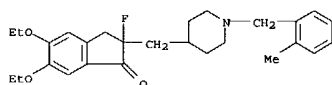


10/069,073

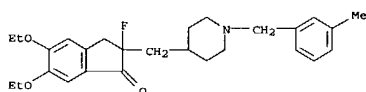
L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



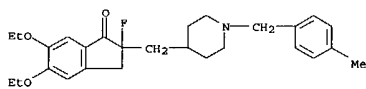
RN 571144-50-8 CAPLUS  
CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2,3-dihydro-2-[[1-[(2-methylphenyl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 571144-51-9 CAPLUS  
CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2,3-dihydro-2-[[1-[(3-methylphenyl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

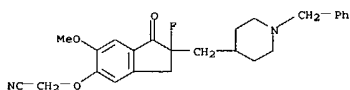


RN 571144-52-0 CAPLUS  
CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2,3-dihydro-2-[[1-[(4-methylphenyl)methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

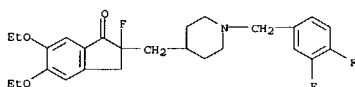


RN 571144-53-1 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-(cyclopentylmethyl)-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

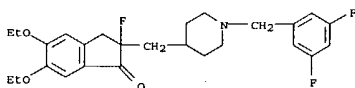
L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



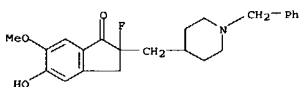
RN 571144-60-0 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-[(3,4-difluorophenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 571144-61-1 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-[(3,5-difluorophenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

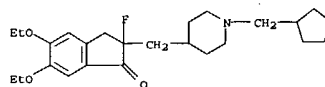


IT 571144-65-5P, 1-Benzyl-4-[(2-fluoro-5-hydroxy-6-methoxy-1-oxoindan-2-yl)methyl]piperidine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 2-(piperidinylalkyl)-1-indanone derive. as sigma receptor agonists and antagonists and acetylcholine esterase inhibitors for treatment, preparation, or improvement of mental disorders)  
RN 571144-65-5 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5-hydroxy-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

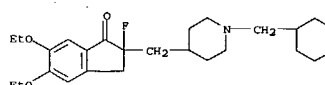


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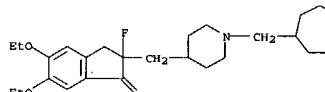
L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



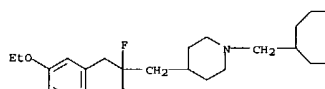
RN 571144-54-2 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 571144-55-3 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-(cycloheptylmethyl)-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 571144-56-4 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-(cyclooctylmethyl)-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 571144-57-5 CAPLUS  
CN Acetonitrile, [[2-fluoro-2,3-dihydro-6-methoxy-1-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-inden-5-yl]oxy]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

10/069,073

L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:268567 CAPLUS

DOCUMENT NUMBER: 136:294736

TITLE: Optical resolution of donepezil or its halo

INVENTOR(S): Iimura, Yoichi; Kozasa, Takashi; Suzuki, Naoko

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

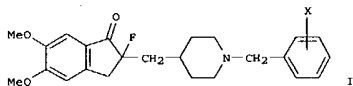
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002105052	A2	20020410	JP 2000-298513	20000929
PRIORITY APPL. INFO.:			JP 2000-298513	20000929
OTHER SOURCE(S):		MARPAT 136:294736		

GI



AB The title compds. I (X = H, halo), their salts, or their hydrates are optically-resolved using HPLC apparatus equipped with a chiral column.

Mobile phase for HPLC may be a mixture of (a) C5-8 hydrocarbon solvents or halohydrocarbon solvents and (b) C1-8 alcs. I (X = 3-F) (375 mg,

prepared from donepezil with 3 steps) was resolved using CHIRALPAK AD as a stationary phase and hexane/isopropanol mixture (7:3) as a mobile phase to give 138 mg (+)-I (100% e.e.) and 111 mg (-)-I (97.6% e.e.). IC50 values of (+)-I, (-)-I, and (-)-I for rat brain acetylcholinesterase were 0.32, 0.20, and 12 nM, resp.

IT 290308-83-7P

RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

(optical resolution of donepezil or its halo derivs. using chiral

HPLC)

RN 290308-83-7 CAPLUS

CN 1H-Inden-1-one, 2-fluoro-2-[[1-[(3-fluorophenyl)methyl]-4-piperidinylmethyl]-2,3-dihydro-5,6-dimethoxy- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:185079 CAPLUS

DOCUMENT NUMBER: 136:247496

TITLE: Preparation of 1-benzyl-4-[(5,6-dimethoxy-2-fluoro-1-indanon)-2-yl]methylpiperidine as

acetylcholinesterase

inhibitor

INVENTOR(S): Takeuchi, Yoshio; Shibata, Tetsuo; Suzuki, Emiko;

Iimura, Yoichi; Kozasa, Takashi; Yamanishi,

Yoshiharu;

PATENT ASSIGNEE(S): Sugimoto, Hachiro

SOURCE: Eisai Co., Ltd., Japan

PCT Int. Appl., 12 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020482	A1	20020314	WO 2000-JP5969	20000901
W: CN, KR				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1316549	A1	20030604	EP 2000-956886	20000901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				

PRIORITY APPL. INFO.: WO 2000-JP5969 W 20000901

AB The title compound and pharmacol. acceptable salts thereof are claimed.

The

title compound is useful in the treatment of senile dementia, etc. A

process for preparing the title compound is claimed. The title compound

in vitro

showed IC50 of 1.3 nM against acetylcholinesterase, vs. IC50 of 6.7 nM

shown by donepezil hydrochloride.

IT 307307-69-3P 307307-70-6P

RL: IMP (Industrial manufacture); PAC (Pharmacological activity); SPN

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)

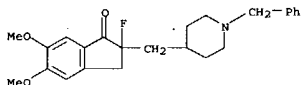
(preparation of 1-benzyl-4-[(5,6-dimethoxy-2-fluoro-1-indanon)-2-

yl]methylpiperidine as acetylcholinesterase inhibitor)

RN 307307-69-3 CAPLUS

CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-

piperidinylmethyl]- (9CI) (CA INDEX NAME)

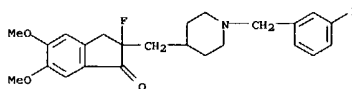


RN 307307-70-6 CAPLUS

CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)

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L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT 407578-51-2P 407578-52-3P

RL: PUR (Purification or recovery); PREP (Preparation)

(optical resolution of donepezil or its halo derivs. using chiral

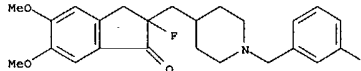
HPLC)

RN 407578-51-2 CAPLUS

CN 1H-Inden-1-one, 2-fluoro-2-[[1-[(3-fluorophenyl)methyl]-4-

piperidinylmethyl]-2,3-dihydro-5,6-dimethoxy-, (+)- (9CI) (CA INDEX NAME)

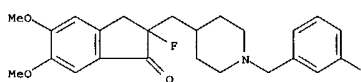
Rotation (+).



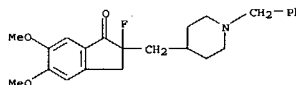
RN 407578-52-3 CAPLUS

CN 1H-Inden-1-one, 2-fluoro-2-[[1-[(3-fluorophenyl)methyl]-4-piperidinylmethyl]-2,3-dihydro-5,6-dimethoxy-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

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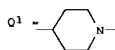
LS ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:31416 CAPLUS  
 DOCUMENT NUMBER: 136:102292  
 TITLE: Preparation of piperidine derivatives as agents for controlling intraocular pressure  
 INVENTOR(S): Iimura, Yoichi; Kosasa, Takashi; Kato, Akira  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 62 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002526	A1	20020110	WO 2001-JP5714	20010702

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, PA, PE, PG, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, ST, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-200899 A 20000703  
 JP 2000-230319 A 20000731

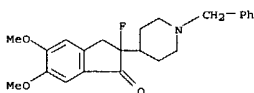
OTHER SOURCE(S): MARPAT 136:102292  
 GI



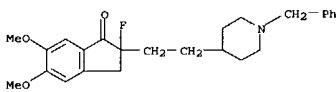
AB The title compds. R1MAR2 (I) [R1 is (un)substituted 1-indanone-2-yl moiety (generic structure given), etc.; M is single bond or alkylene; A = Q1, etc.; R2 is hydrogen, optionally substituted alkyl, etc.] are prepared I are useful in the treatment, prevention or amelioration of eye diseases such as glaucoma and mydriasis. I are said to show intraocular pressure-decreasing activity and acetylcholine esterase inhibiting activity. For example,

1-benzyl-4-[(5,6-dimethoxy-2-fluoro-1-indanone)-2-yl]methylpiperidine hydrochloride was prepared Formulations are given.  
 IT 290308-78-0P 290308-79-1P 290308-80-4P  
 290308-81-5P 290308-82-6P 290308-83-7P  
 290308-86-0P 290308-87-1P 290308-88-2P  
 290308-89-3P 290308-90-6P 290308-91-7P  
 290308-92-8P 290308-93-9P 290308-94-0P  
 290308-95-1P 290308-96-2P 290308-97-3P  
 290308-98-4P 290308-99-5P 290309-00-1P  
 290309-01-2P 290309-02-3P 290309-03-4P

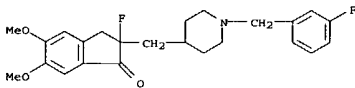
LS ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 290308-81-5 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

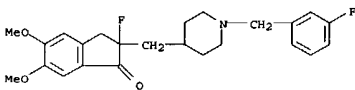


RN 290308-82-6 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[(1-((3-fluorophenyl)methyl)-4-piperidinyl)methyl]-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 290308-83-7 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[(1-((3-fluorophenyl)methyl)-4-piperidinyl)methyl]-2,3-dihydro-5,6-dimethoxy-, (9CI) (CA INDEX NAME)



RN 290308-86-0 CAPLUS  
 CN 1H-Inden-1-one, 2-[(1-(cyclohexylmethyl)-4-piperidinyl)methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

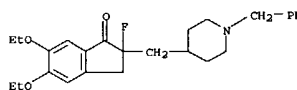
LS ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

290309-04-5P 290309-05-6P 290309-06-7P  
 290309-07-8P 290309-08-9P 290309-09-0P  
 290309-10-3P 290309-11-4P 290309-12-5P  
 307307-69-3P 307307-70-4P 382144-73-2P  
 382144-74-3P 382144-75-4P 382144-77-6P  
 382144-78-7P 382144-79-8P 382145-04-6P  
 382145-05-7P 382145-07-9P 382145-20-6P  
 382145-21-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

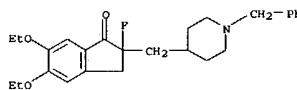
(prepn. of piperidine derivs. as agents for controlling intraocular pressure)

RN 290308-78-0 CAPLUS  
 CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2,3-dihydro-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



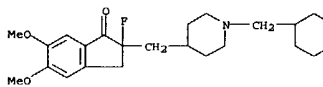
● HCl

RN 290308-79-1 CAPLUS  
 CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2,3-dihydro-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



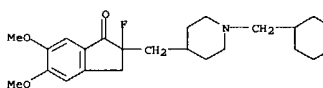
RN 290308-80-4 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

LS ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

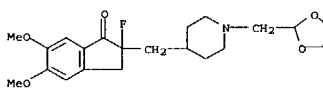


● HCl

RN 290308-87-1 CAPLUS  
 CN 1H-Inden-1-one, 2-[(1-(cyclohexylmethyl)-4-piperidinyl)methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy-, (9CI) (CA INDEX NAME)

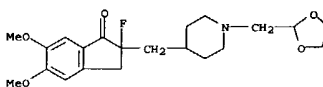


RN 290308-88-2 CAPLUS  
 CN 1H-Inden-1-one, 2-[(1-(1,3-dioxolan-2-ylmethyl)-4-piperidinyl)methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

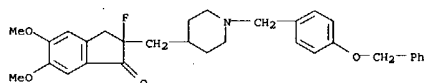
RN 290308-89-3 CAPLUS  
 CN 1H-Inden-1-one, 2-[(1-(1,3-dioxolan-2-ylmethyl)-4-piperidinyl)methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy-, (9CI) (CA INDEX NAME)



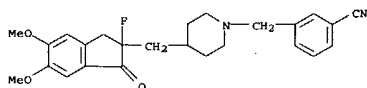
RN 290308-90-6 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[(1-[[4-(phenylmethoxy)phenyl]methyl]-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

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L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

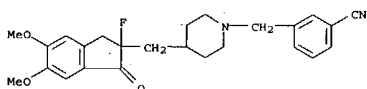


RN 290308-91-7 CAPLUS  
CN Benzonitrile,  
3-[[4-[(2-fluoro-2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-piperidinylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



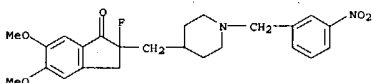
● HCl

RN 290308-92-8 CAPLUS  
CN Benzonitrile,  
3-[[4-[(2-fluoro-2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-piperidinylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

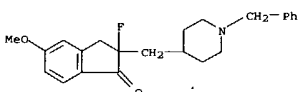


RN 290308-93-9 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(2-pyridinylmethyl)-4-piperidinylmethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

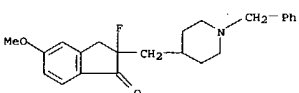


RN 290308-97-3 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5-methoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)

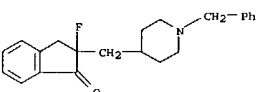


● HCl

RN 290308-98-4 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5-methoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)

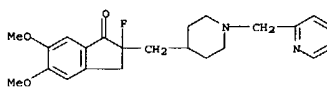


RN 290308-99-5 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)



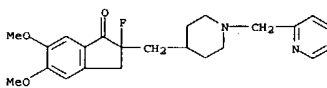
● HCl

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

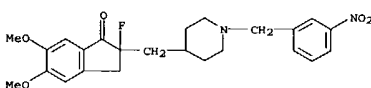


● 2 HCl

RN 290308-94-0 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(2-pyridinylmethyl)-4-piperidinylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 290308-95-1 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[[3-nitrophenyl)methyl]-4-piperidinylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

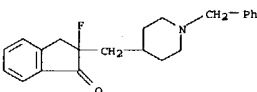


● HCl

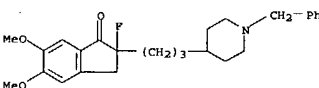
RN 290308-96-2 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[[3-nitrophenyl)methyl]-4-piperidinylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 290309-00-1 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)

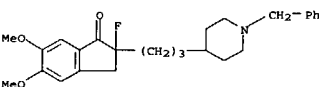


RN 290309-01-2 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[3-[[1-(phenylmethyl)-4-piperidinyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

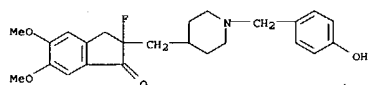
RN 290309-02-3 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[3-[[1-(phenylmethyl)-4-piperidinyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)



RN 290309-03-4 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[[4-hydroxyphenyl)methyl]-4-piperidinylmethyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

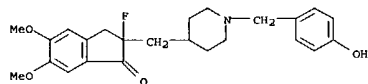
10/069,073

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

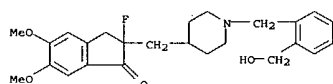


● HCl

RN 290309-04-5 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]methyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



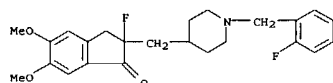
RN 290309-05-6 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(2-hydroxymethyl)phenyl]methyl]-4-piperidinyl]methyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

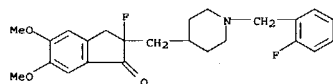
RN 290309-06-7 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(2-hydroxymethyl)phenyl]methyl]-4-piperidinyl]methyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

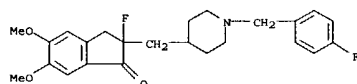


● HCl

RN 290309-10-3 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(2-fluorophenyl)methyl]-4-piperidinyl]methyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

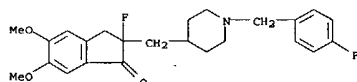


RN 290309-11-4 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl]methyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



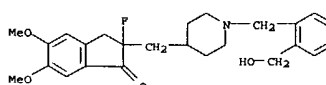
● HCl

RN 290309-12-5 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl]methyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

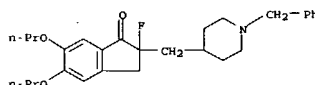


RN 307307-69-3 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(phenylmethyl)-4-piperidinyl]methyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

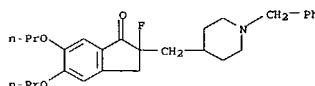


RN 290309-07-8 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(phenylmethyl)-4-piperidinyl]methyl]-5,6-dipropoxy-, hydrochloride (9CI) (CA INDEX NAME)



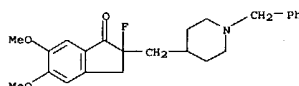
● HCl

RN 290309-08-9 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(phenylmethyl)-4-piperidinyl]methyl]-5,6-dipropoxy-, hydrochloride (9CI) (CA INDEX NAME)

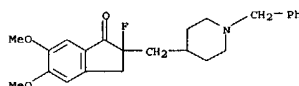


RN 290309-09-0 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(2-fluorophenyl)methyl]-4-piperidinyl]methyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

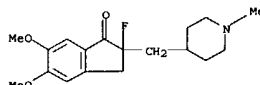


RN 307307-70-6 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(phenylmethyl)-4-piperidinyl]methyl]-5,6-dipropoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 382144-73-2 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(1-methyl-4-piperidinyl)methyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

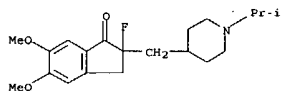


● HCl

RN 382144-74-3 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(1-methyl-4-piperidinyl)methyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

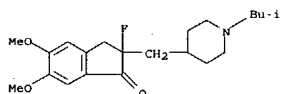
10/069,073

LS ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



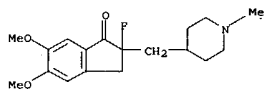
● HCl

RN 382144-75-4 CAPLUS  
CN 1H-Inden-1-one,  
2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(2-methylpropyl)-  
4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



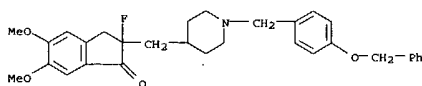
● HCl

RN 382144-77-6 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(1-methyl-4-  
piperidinyl)methyl]- (9CI) (CA INDEX NAME)



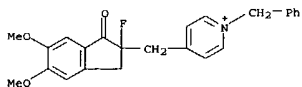
RN 382144-78-7 CAPLUS  
CN 1H-Inden-1-one,  
2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(1-methylethyl)-4-  
piperidinyl]methyl]- (9CI) (CA INDEX NAME)

LS ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
RN 388115-07-9 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[[4-  
(phenylmethoxy)phenyl]methyl]-4-piperidinyl]methyl]-, hydrochloride (9CI)  
(CA INDEX NAME)



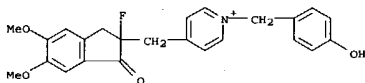
● HCl

RN 388115-20-6 CAPLUS  
CN Pyridinium, 4-[[2-fluoro-2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-  
yl]methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

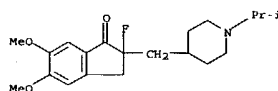
RN 388115-21-7 CAPLUS  
CN Pyridinium, 4-[[2-fluoro-2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-  
yl]methyl]-1-[[4-hydroxyphenyl]methyl]-, bromide (9CI) (CA INDEX NAME)



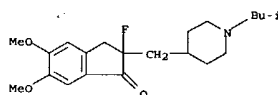
● Br<sup>-</sup>

IT 290308-73-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of piperidine deriva. as agents for controlling  
intracellular  
pressure)  
RN 290308-73-5 CAPLUS

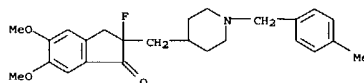
LS ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



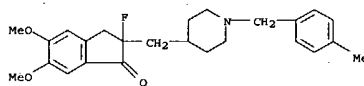
RN 382144-79-8 CAPLUS  
CN 1H-Inden-1-one,  
2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(2-methylpropyl)-  
4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 388115-04-6 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[[4-  
methylphenyl]methyl]-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

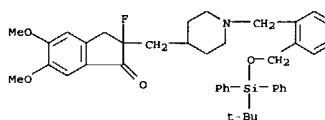


RN 388115-05-7 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[[4-  
methylphenyl]methyl]-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA  
INDEX NAME)



● HCl

LS ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
CN 1H-Inden-1-one,  
2-[[1-[[2-[[[(1,1-dimethylethyl)diphenyl]oxy]methyl]p  
henyl]methyl]-4-piperidinyl]methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy-  
(9CI) (CA INDEX NAME)

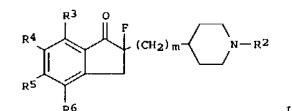


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR  
THIS  
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

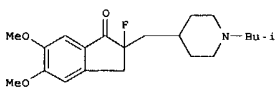
10/069,073

L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:935576 CAPLUS  
 DOCUMENT NUMBER: 136:53687  
 TITLE: Preparation of 4-substituted-piperidine compounds having acetyl cholinesterase inhibitory activity and useful as anti-Alzheimer agents  
 INVENTOR(S): Iimura, Yoichi; Konoasa, Takashi  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 35 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098271	A1	20011227	WO 2001-JP5320	20010621
W: CN, JP, KR, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
EP 1300395	A1	20030409	EP 2001-941159	20010621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
US 2003166925	A1	20030904	US 2002-296379	20021125
PRIORITY APPLN. INFO.: JP 2000-186085 A 20000621 WO 2001-JP5320 W 20010621				
OTHER SOURCE(S): CASREACT 136:53687; MARPAT 136:53687 GI				

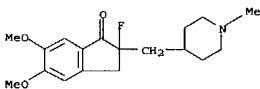


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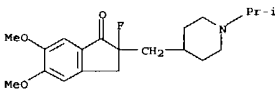


II

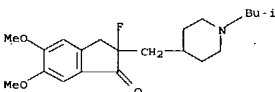
L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 382144-78-7 CAPLUS  
 CN 1H-Inden-1-one,  
 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(1-methylethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

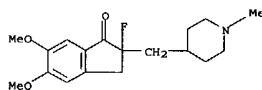


RN 382144-79-8 CAPLUS  
 CN 1H-Inden-1-one,  
 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(2-methylpropyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



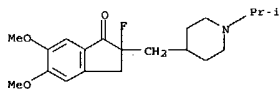
IT 382144-75-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (Preparation of 4-substituted-piperidine compds. having acetyl cholinesterase inhibitory activity and useful as anti-Alzheimer agents)  
 RN 382144-75-4 CAPLUS  
 CN 1H-Inden-1-one,  
 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(2-methylpropyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 AB Title compds. [I; R2 = H, C1-6 alkyl, C1-6 alkenyl, C1-6 alkynyl; R3, R4, R5, R6 each independently = H, C1-6 alkoxy; m = 0, 1, 2, 3, 4, 5, 6, etc], salts, and hydrates, having acetyl cholinesterase inhibitory activity (no data), are prepared as anti-Alzheimer agents and anti-attention deficit-hyperactivity disorder agents with formulation. Thus, the title compound II-HCl was prepared and in vitro tested for acetyl cholinesterase inhibition effect (no data).  
 IT 382144-73-2P 382144-74-3P 382144-77-6P 382144-78-7P 382144-79-8P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (Preparation of 4-substituted-piperidine compds. having acetyl cholinesterase inhibitory activity and useful as anti-Alzheimer agents).  
 RN 382144-73-2 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(1-methyl-4-piperidinyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

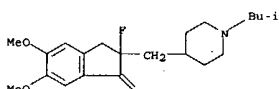
RN 382144-74-3 CAPLUS  
 CN 1H-Inden-1-one,  
 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(1-methylethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

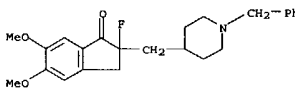
RN 382144-77-6 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(1-methyl-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

IT 307307-69-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (Preparation of 4-substituted-piperidine compds. having acetyl cholinesterase inhibitory activity and useful as anti-Alzheimer agents)  
 RN 307307-69-3 CAPLUS  
 CN 1H-Inden-1-one,  
 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

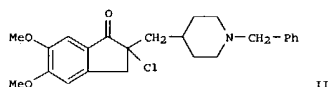
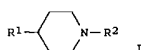


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

10/069,073

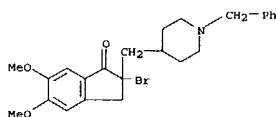
ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:167968 CAPLUS  
 DOCUMENT NUMBER: 134:207723  
 TITLE: Preparation of 4-substituted piperidine derivatives  
 as  
 acetylcholinesterase inhibiting remedies for senile dementia  
 INVENTOR(S): Iimura, Yoichi; Kosasa, Takashi  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 Patent  
 DOCUMENT TYPE: Japanese  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001:016105	A1	20010308	WO 2000-JP5968	20000901
W: CA, CN, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2001:139547	A2	20010522	JP 2000-262103	20000831
EP 1209151	A1	20020529	EP 2000-956885	20000901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PRIORITY APPLM. INFO.:		JP 1999-247115	A	19990901
		WO 2000-JP5968	W	20000901
OTHER SOURCE(S):		MARPAT 134:207723		
GI				

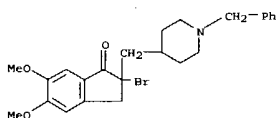


AB The title compds. I [R1 = (un)substituted indanonylalkyl (generic structure given), etc.; R2 = cycloalkylmethyl, etc.] are prepared The title compound II.HCl in vitro showed IC50 of 2 nM against acetylcholinesterase, vs. IC50 of 6.7 nM shown by donepezil hydrochloride.  
 IT 329010-62-0P 329010-63-1P 329010-64-2P  
 329010-65-3P 329010-66-4P 329010-67-5P  
 329010-68-6P 329010-69-7P 329010-70-0P  
 329010-71-1P 329010-72-2P 329010-73-3P

LS ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

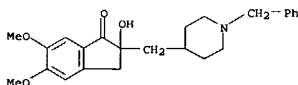


RN 329010-65-3 CAPLUS  
 CN 1H-Inden-1-one, 2-bromo-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

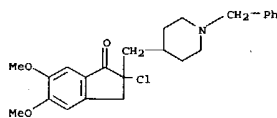
RN 329010-66-4 CAPLUS  
 CN 1H-Inden-1-one, 2,3-dihydro-2-hydroxy-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

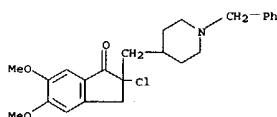
RN 329010-67-5 CAPLUS  
 CN 1H-Inden-1-one, 2,3-dihydro-2-hydroxy-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)

LS ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 329010-74-4P 329010-75-5P 329010-76-6P  
 329010-77-7P 329010-78-8P 329010-79-9P  
 329010-80-2P 329010-81-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of piperidine deriva. as acetylcholinesterase-inhibiting remedies for senile dementia)  
 RN 329010-62-0 CAPLUS  
 CN 1H-Inden-1-one, 2-chloro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)



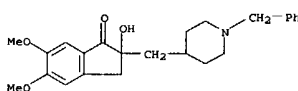
● HCl

RN 329010-63-1 CAPLUS  
 CN 1H-Inden-1-one, 2-chloro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)

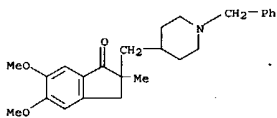


RN 329010-64-2 CAPLUS  
 CN 1H-Inden-1-one, 2-bromo-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)

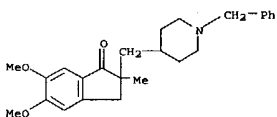
LS ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 329010-68-6 CAPLUS  
 CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-methyl-2-[[1-(phenylmethyl)-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)



RN 329010-69-7 CAPLUS  
 CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-methyl-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)



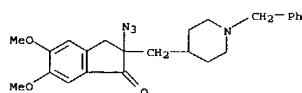
● HCl

RN 329010-70-0 CAPLUS  
 CN 1H-Inden-1-one, 2-azido-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



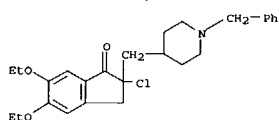
10/069,073

L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



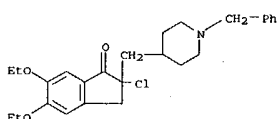
● HCl

RN 329010-71-1 CAPLUS  
CN 1H-Inden-1-one, 2-chloro-5,6-diethoxy-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



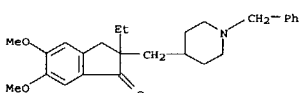
● HCl

RN 329010-72-2 CAPLUS  
CN 1H-Inden-1-one, 2-chloro-5,6-diethoxy-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

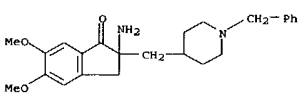


RN 329010-73-3 CAPLUS  
CN 1H-Inden-1-one, 2-chloro-5-ethoxy-2,3-dihydro-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

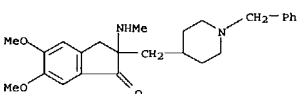
L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



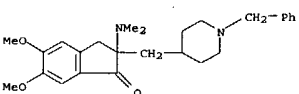
RN 329010-77-7 CAPLUS  
CN 1H-Inden-1-one, 2-amino-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 329010-78-8 CAPLUS  
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(methylamino)-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

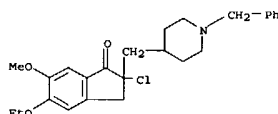


RN 329010-79-9 CAPLUS  
CN 1H-Inden-1-one, 2-(dimethylamino)-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



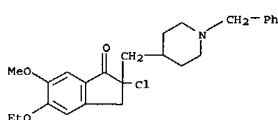
RN 329010-80-2 CAPLUS  
CN Acetamide, N-[2,3-dihydro-5,6-dimethoxy-1-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

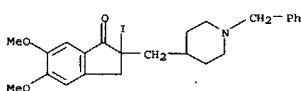


● HCl

RN 329010-74-4 CAPLUS  
CN 1H-Inden-1-one, 2-chloro-5-ethoxy-2,3-dihydro-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

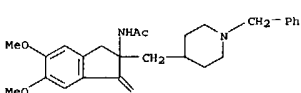


RN 329010-75-5 CAPLUS  
CN 1H-Inden-1-one, 2,3-dihydro-2-iodo-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

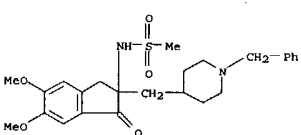


RN 329010-76-6 CAPLUS  
CN 1H-Inden-1-one, 2-ethyl-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 329010-81-3 CAPLUS  
CN Methanesulfonamide, N-[2,3-dihydro-5,6-dimethoxy-1-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

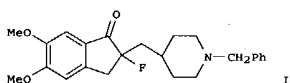
FORMAT

10/069,073

L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 2000:817496 CAPLUS  
 DOCUMENT NUMBER: 133:362708  
 TITLE: Preparation of 5,6-dimethoxy-2-fluoro-1-indanone derivative as acetylcholine esterase inhibitor and its therapeutic use  
 INVENTOR(S): Takeuchi, Yoshio; Shibata, Tetsuo; Suzuki, Emiko; Iimura, Yoichi; Ozasa, Takashi; Yamanishi, Yoshiharu; Sugimoto, Machiro  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000319257	A2	20001121	JP 2000-41005	20000218
US 6277866	B1	20010821	US 1999-427635	19991027
PRIORITY APPLN. INFO.:		JP 1999-55754	A	19990303

GI



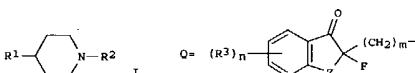
AB The title derivative I or its pharmacol. acceptable salts, useful for prophylactic and therapeutic treatment of senile dementia, cerebrovascular dementia, and attention-deficit hyperactivity disorder, are prepared by fluorination of 1-benzyl-4-[(5,6-dimethoxy-1-indanon)-2-yl]methylpiperidine (II), followed by optional salt formation. II was treated with  $\text{LiN}(\text{SiMe}_3)_2/\text{THF}$  at  $-78$  to  $-20^\circ$ , then treated with N-fluorobenzenesulfonamide at room temperature for 4 h to give 76% I.

I.HCl inhibited acetylcholine esterase with  $\text{IC}_{50}$  of 1.3 nM.

IT 307307-69-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 5,6-dimethoxy-2-fluoro-1-indanone derivative as acetylcholine esterase inhibitor for treatment of mental disorders)  
 RN 307307-69-3 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-

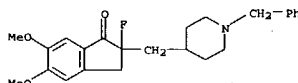
L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 2000:628120 CAPLUS  
 DOCUMENT NUMBER: 133:207817  
 TITLE: Preparation of fluorides of 4-substituted piperidine derivatives as acetylcholinesterase inhibitors  
 INVENTOR(S): Iimura, Yoichi; Kosasa, Takashi; Yamanishi, Yoshiharu; Sugimoto, Machiro; Takeuchi, Yoshio; Shibata, Tetsuo; Suzuki, Emiko  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 61 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051985	A1	20000908	WO 2000-JP1232	20000302
W: AU, BR, CA, CN, HU, KR, MX, NO, NZ, RU, US, ZA RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE JP 2000319258 A2 20001121 JP 2000-57016 20000302 EP 1157989 A1 20011128 EP 2000-906631 20000302 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI US 6677330 B1 20040113 US 2001-914581 20010830 PRIORITY APPLN. INFO.: JP 1999-55755 A 19990303 WO 2000-JP1232 W 20000302 OTHER SOURCE(S): MARPAT 133:207817 GI				

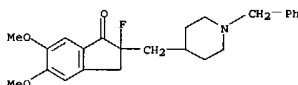


AB The title compds. [I; R1 = Q, etc.; wherein Z = CH2, CO, CH2CH2, (CH2)3; R2 = C3-8 cycloalkylmethyl, 2,2-(alkylenedioxy)ethyl, (un)substituted benzyl or heterocyclylmethyl] or pharmacol. acceptable salts or hydrates of the both, exclusive of 1-benzyl-4-[(5,6-dimethoxy-2-fluoro-1-indanon)-2-yl]methylpiperidine, pharmacol. acceptable salts thereof, and hydrates of both, are prepared. These compds. are useful for the treatment, prevention, or improvement of senile dementia, cerebral vascular dementia, attention-deficit hyperactivity disorder (ADHD), or Alzheimer's disease. Thus, hydrogenolysis of 1-(4-benzyl-4-[(5,6-dimethoxy-2-fluoro-1-indanon-2-yl)methyl]piperidine over 10% Pd-C in THF at room temperature for 4 h gave, after salt formation with HCl, 4-[(5,6-dimethoxy-2-fluoro-1-indanon-2-yl)methyl]-1-(4-hydroxybenzyl)piperidine hydrochloride (II). II showed  $\text{IC}_{50}$  of 0.32 nM against acetylcholinesterase.

L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)  
 piperidinylmethyl]- (9CI) (CA INDEX NAME)



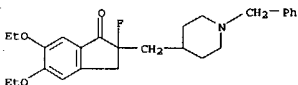
IT 307307-70-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 5,6-dimethoxy-2-fluoro-1-indanone derivative as acetylcholine esterase inhibitor for treatment of mental disorders)  
 RN 307307-70-6 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

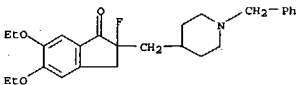
L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

IT 290308-78-0P 290308-79-1P 290308-80-4P  
 290308-81-5P 290308-82-6P 290308-83-7P  
 290308-84-8P 290308-85-9P 290308-86-0P  
 290308-87-1P 290308-88-2P 290308-89-3P  
 290308-90-6P 290308-91-7P 290308-92-8P  
 290308-93-9P 290308-94-0P 290308-95-1P  
 290308-96-2P 290308-97-3P 290308-98-4P  
 290308-99-5P 290309-00-1P 290309-01-2P  
 290309-02-3P 290309-03-4P 290309-04-5P  
 290309-05-6P 290309-06-7P 290309-07-8P  
 290309-08-9P 290309-09-0P 290309-10-3P  
 290309-11-4P 290309-12-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of fluorides of 4-substituted piperidine derivs. as acetylcholinesterase inhibitors for therapeutics)  
 RN 290308-78-0 CAPLUS  
 CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)



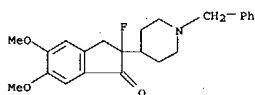
● HCl

RN 290308-79-1 CAPLUS  
 CN 1H-Inden-1-one, 5,6-diethoxy-2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)

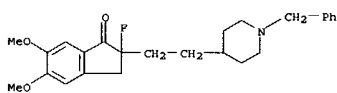


RN 290308-80-4 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

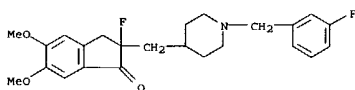
LS ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 290308-81-5 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

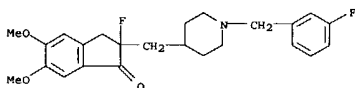


RN 290308-82-6 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2-[(1-[(3-fluorophenyl)methyl]-4-piperidinyl)methyl]-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

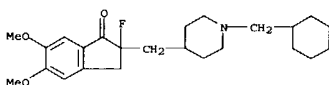


● HCl

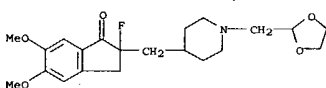
RN 290308-83-7 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2-[(1-[(3-fluorophenyl)methyl]-4-piperidinyl)methyl]-2,3-dihydro-5,6-dimethoxy- (9CI) (CA INDEX NAME)



LS ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

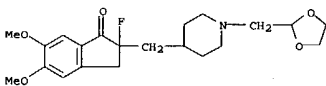


RN 290308-88-2 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-[(1,3-dioxolan-2-yl)methyl]-4-piperidinyl)methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

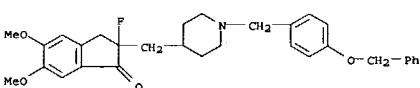


● HCl

RN 290308-89-3 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-[(1,3-dioxolan-2-yl)methyl]-4-piperidinyl)methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy- (9CI) (CA INDEX NAME)



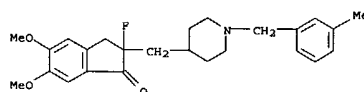
RN 290308-90-6 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[(4-(phenylmethoxy)phenyl)methyl]-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 290308-91-7 CAPLUS  
CN Benzonitrile, 3-[[4-[(2-fluoro-2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-piperidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

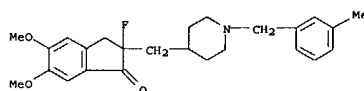
LS ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 290308-84-8 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[(3-methylphenyl)methyl]-4-piperidinyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

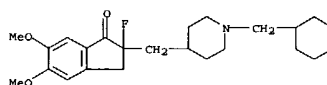


● HCl

RN 290308-85-9 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[(3-methylphenyl)methyl]-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



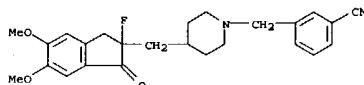
RN 290308-86-0 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-(cyclohexylmethyl)-4-piperidinyl)methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

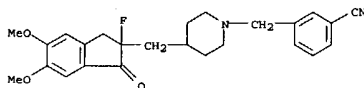
RN 290308-87-1 CAPLUS  
CN 1H-Inden-1-one, 2-[[1-(cyclohexylmethyl)-4-piperidinyl)methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy- (9CI) (CA INDEX NAME)

LS ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

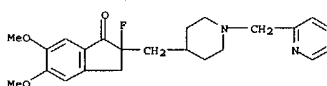


● HCl

RN 290308-92-8 CAPLUS  
CN Benzonitrile, 3-[[4-[(2-fluoro-2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

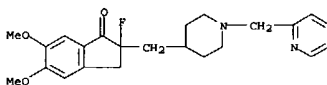


RN 290308-93-9 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(2-pyridinylmethyl)-4-piperidinyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

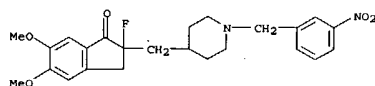
RN 290308-94-0 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-(2-pyridinylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 290308-95-1 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[(3-

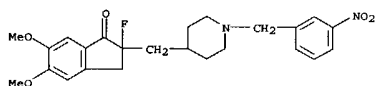
10/069,073

L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
nitrophenyl)methyl]-4-piperidinylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

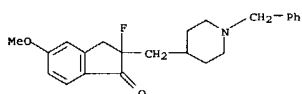


● HCl

RN 290308-96-2 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[(3-nitrophenyl)methyl]-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)



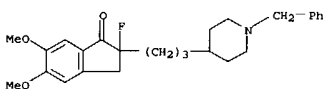
RN 290308-97-3 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5-methoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

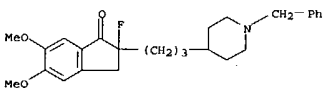
RN 290308-98-4 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5-methoxy-2-[[1-(phenylmethyl)-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

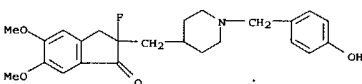


● HCl

RN 290309-02-3 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[(3-nitrophenyl)methyl]-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)

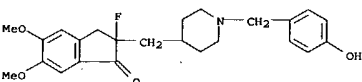


RN 290309-03-4 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(4-hydroxyphenyl)methyl]-4-piperidinylmethyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



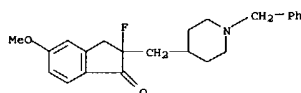
● HCl

RN 290309-04-5 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[(4-hydroxyphenyl)methyl]-4-piperidinylmethyl]-5,6-dimethoxy- (9CI) (CA INDEX NAME)

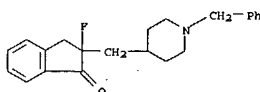


RN 290309-05-6 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[[2-(hydroxymethyl)phenyl)methyl]-4-piperidinylmethyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

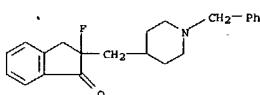


RN 290308-99-5 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-, hydrochloride (9CI) (CA INDEX NAME)



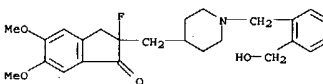
● HCl

RN 290309-00-1 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)



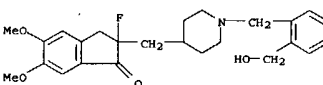
RN 290309-01-2 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[3-[[1-(phenylmethyl)-4-piperidinyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
(hydroxymethyl)phenyl)methyl]-4-piperidinylmethyl]-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

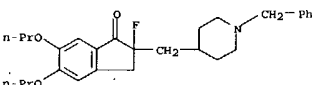


● HCl

RN 290309-06-7 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-[[2-(hydroxymethyl)phenyl)methyl]-4-piperidinylmethyl]-5,6-dimethoxy- (9CI) (CA INDEX NAME)

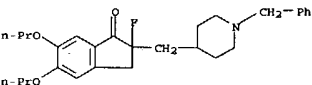


RN 290309-07-8 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-5,6-dipropoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

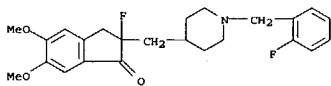
RN 290309-08-9 CAPLUS  
CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinylmethyl]-5,6-dipropoxy- (9CI) (CA INDEX NAME)



10/069,073

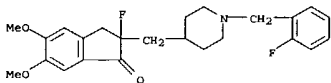
L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 290309-09-0 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[[1-[(2-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

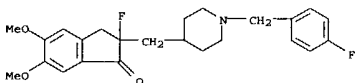


● HCl

RN 290309-10-3 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[[1-[(2-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro-5,6-dimethoxy-, (9CI) (CA INDEX NAME)



RN 290309-11-4 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro-5,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

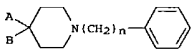
RN 290309-12-5 CAPLUS  
 CN 1H-Inden-1-one, 2-fluoro-2-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl]methyl]-2,3-dihydro-5,6-dimethoxy-, (9CI) (CA INDEX NAME)

L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

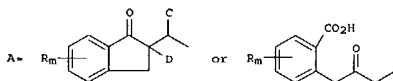
ACCESSION NUMBER: 1997:678673 CAPLUS  
 DOCUMENT NUMBER: 127:293137  
 TITLE: Preparation of aralkyl piperidines as acetylcholine esterase inhibitors  
 INVENTOR(S): Imura, Yoichi; Naito, Toshihiko; Senaga, Masahiro; Yamanishi, Yoshiharu  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
 DOCUMENT TYPE: CODEN: JKXXAF  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION: Japanese

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09268176	A2	19971014	JP 1996-78497	19960401
PRIORITY APPLN. INFO.: JP 1996-78497 19960401				
OTHER SOURCE(S): MARPAT 127:293137				

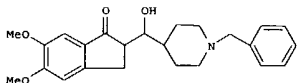
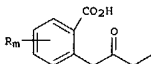
GI



I



or



II

AB The title compds. (I; n = 0-2; B, C = H, OH; D = H, lower hydroxyalkyl; R = H, lower alkyl or alkoxy; m = 0-4) are prepared I, possessing acetylcholine esterase inhibitory activity, are useful for prevention and treatment of alzheimer diseases, senile dementia, and related diseases. Thus, 5,6-dimethoxy-1-indanone was reacted with 1-benzyl-4-formylpiperidine in the presence of HMPA and lithium diisopropylamide. THF to give the title compound (II), which showed IC50 of 0.79  $\mu$ M against acetylcholine esterase.

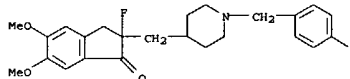
IT 197010-24-5P

RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aralkyl piperidines as acetylcholine esterase inhibitors)

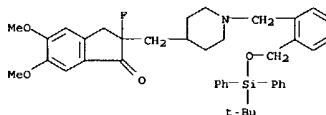
RN 197010-24-5 CAPLUS

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L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



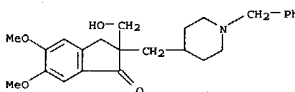
IT 290308-73-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of fluorides of 4-substituted piperidine derivs. as acetylcholinesterase inhibitors for therapeutics)  
 RN 290308-73-5 CAPLUS  
 CN 1H-Inden-1-one, 2-[[1-[[2-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]phenyl]methyl]-4-piperidinyl]methyl]-2-fluoro-2,3-dihydro-5,6-dimethoxy-, (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CN 1H-Inden-1-one, 2,3-dihydro-2-(hydroxymethyl)-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

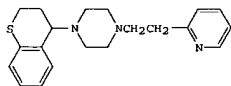


10/069,073

DE ANSWER 11 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1991:559163 CAPLUS  
 DOCUMENT NUMBER: 115:159163  
 TITLE: Preparation 1-(hetero)cycloalkyl-4-(2-arylethyl)piperazines and analogs as antiarrhythmic agents  
 INVENTOR(S): Baldwin, John J.; Claremon, David A.; Elliott, Jason M.; Ponticello, Gerald S.; Remy, David C.; Selnick, Harold G.  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: Eur. Pat. Appl., 23 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 431945	A2	19910612	EP 1990-113264	19901206
EP 431945	A3	19920422		
R: CH, DE, FR, GB, IT, LI, NL				
US 5032598	A	19910716	US 1989-447949	19891208
JP 03181461	A2	19910807	JP 1990-326194	19901129
CA 2031693	AA	19910609	CA 1990-2031693	19901206
US 5215989	A	19930601	US 1991-730317	19910715

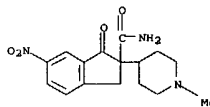
PRIORITY APPLN. INFO.: US 1989-447949 19891208  
 OTHER SOURCE(S): MARPAT 115:159163  
 GI



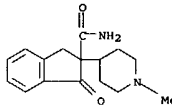
II

AB ArXQYR1 [I; Ar = (un)substituted benzo-, thieno-, furo-, or pyrrolo-fused Ph or other 5 to 7-membered carbocyclic or heterocyclic moiety; Q = 5 to 7-membered heterocyclenediyl; R1 = H when Q = imidazolenediyl; R1 is otherwise (un)substituted (hetero)aryl; X = CO, CONR(CR2)m, SO2, (CR2)m;  
 R = H, C1-6 alkyl; Y = (CR2)m, (CR2)mO; m = 0-3] were prepared. Thus, thiochroman-4-ol was treated with SOCl2 and the product condensed with 4-[2-(2-pyridyl)ethyl]piperazine to give title compound II. I at  $\leq 10 \mu\text{M}$  gave a 25% increase of isolated ferret papillary muscle refractory period.  
 IT 136188-85-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 RN 136188-85-7 CAPLUS  
 CN 1H-Indene-2-carboxamide, 2,3-dihydro-2-(1-methyl-4-piperidinyl)-6-nitro-1-

LS ANSWER 11 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 oxo- (9CI) (CA INDEX NAME)

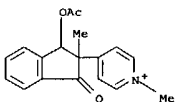


IT 136188-84-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of antiarrhythmic agents)  
 RN 136188-84-6 CAPLUS  
 CN 1H-Indene-2-carboxamide, 2,3-dihydro-2-(1-methyl-4-piperidinyl)-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



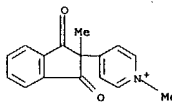
● HCl

LS ANSWER 12 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1986:504540 CAPLUS  
 DOCUMENT NUMBER: 105:104540  
 TITLE: Electrochemical reduction of quaternized 2-pyridinio-1,3-indandiones at a mercury electrode-1. Electroreduction in aprotic medium  
 AUTHOR(S): Stradins, J.; Benders, J.; Kadis, V.  
 CORPORATE SOURCE: Inst. Org. Synth., Riga, USSR  
 SOURCE: Electrochimica Acta (1986), 31(6), 637-43  
 CODEN: ELCAAV, ISSN: 0013-4686  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The electrochem. reduction of 2-pyridinio-1,3-indandiones (quaternized pyrophthalones and quinophthalones as well as N-ylides) was studied at a dropping Hg electrode in aprotic medium (DMF). The 1st one-electron stage ranging from -1.1 to -1.9 V leads to free-radical species in which the d. of the unpaired electron is located predominantly at the indandione moiety (radicals of the semidione type) although the pyridinium moiety is also involved. Dimerization of the resultant species gives dimers that can be identified by characteristic anodic oxidation peaks over the -0.6 to -0.9 V potential range. The dimerization rate constant determined for the primary radicals (in case of  $\gamma$ -N-methylpyrophthalone) by the Nicholson method was found to be  $5 + 103 \text{ l. mol}^{-1} \text{ s}^{-1}$ . In the case of 2-methyl-2-pyridinio-1,3-indandiones, 2 types of free radical species identified by ESR were formed which correspond to 1 and 3-electron reduction  
 A product of 2-electron reduction was obtained by electrochem. reductive acetylation and assigned with the aid of mass spectrometry. Electroreductn. pathways for all types of compds. under investigation were proposed revealing the the indandione moiety is reduced at less neg. potentials than the pyridinium one. Quantum chemical interpretation of such reduction sequence is provided.  
 IT 78001-31-7P  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 78001-31-7 CAPLUS  
 CN Pyridinium, 4-[1-(acetyloxy)-2,3-dihydro-2-methyl-3-oxo-1H-inden-2-yl]-1-methyl-, iodide (9CI) (CA INDEX NAME)

● I<sup>-</sup>

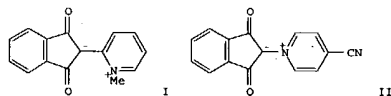
IT 78001-29-3

LS ANSWER 12 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (redn. of, electrochem., in aprotic medium)  
 RN 78001-29-3 CAPLUS  
 CN Pyridinium, 4-(2,3-dihydro-2-methyl-1,3-dioxo-1H-inden-2-yl)-1-methyl-, iodide (9CI) (CA INDEX NAME)

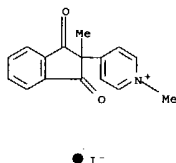
● I<sup>-</sup>

10/069,073

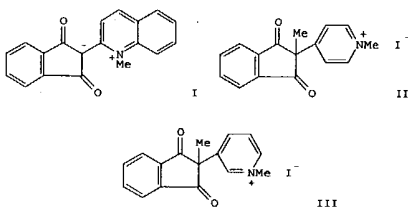
L5 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1983:404955 CAPLUS  
 DOCUMENT NUMBER: 99:4955  
 TITLE: Intermediate products of the electroreduction of 2-pyridyl-1,3-indandiones in aprotic media  
 AUTHOR(S): Benders, J.; Stradins, J.; Balder, L. M.; Baumann, L.; Gavars, R.  
 CORPORATE SOURCE: Inst. Org. Sint., Riga, 226006, USSR  
 SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1983), (2), 237-45  
 CODEN: KGSSAQ; ISSN: 0453-8234  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 GI



AB The 1-electron reduction of 1,3-dioxo-2-indanylpyridinium compds., e.g., I and II, was studied by ESR. In the radicals formed the unpaired electron is localized in the phthaloyl part of the structure unless an electron-withdrawing substituent, such as the CN group in II, is present to shift the electron to the pyridinium ring. The formation of unstable dimeric species was proposed on the basis of cyclic voltammetric expts.  
 IT 78001-29-3  
 RL: RCT (Reactant); RACT (Reactant or reagent) (electrochem. reduction of)  
 RN 78001-29-3 CAPLUS  
 CN Pyridinium, 4-(2,3-dihydro-2-methyl-1,3-dioxo-1H-inden-2-yl)-1-methyl-, iodide (9CI) (CA INDEX NAME)



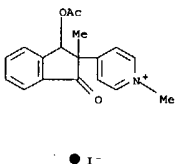
L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1981:441900 CAPLUS  
 DOCUMENT NUMBER: 95:41900  
 TITLE: Polarographic reduction of pyridyl- and quinolyl-1,3-indandiones with disrupted molecular coplanarity  
 AUTHOR(S): Benders, J.; Stradins, J.; Kacens, J.  
 CORPORATE SOURCE: Inst. Org. Sint., Riga, USSR  
 SOURCE: Latvijas PSR Zinatnu Akademijas Vestis, Kimijas (1981), (1), 107-13  
 CODEN: LZAKAM; ISSN: 0002-3248  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 GI



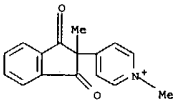
AB Polarog. reduction of I, II, and III affected the indandione moiety in both aprotic and protic media. Neither the electrophilic heterocyclic substituents nor the lack of coplanarity in I-III altered the course of reduction compared to the planar pyrophthalones examined previously.  
 Only pos shifts of the half-wave potentials were observed because of the inductive effect of the heterocyclic substituents.  
 IT 78001-31-7P  
 RL: FORM (Formation, nonpreparative); PREP (Preparation) (formation of, by polarog. reduction of indandione derivative)  
 RN 78001-31-7 CAPLUS  
 CN Pyridinium, 4-[1-(acetyloxy)-2,3-dihydro-2-methyl-3-oxo-1H-inden-2-yl]-1-methyl-, iodide (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



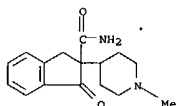
IT 78001-29-3  
 RL: PRP (Properties) (polarog. of)  
 RN 78001-29-3 CAPLUS  
 CN Pyridinium, 4-(2,3-dihydro-2-methyl-1,3-dioxo-1H-inden-2-yl)-1-methyl-, iodide (9CI) (CA INDEX NAME)



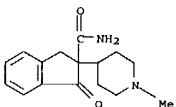
ANSWER 15 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1972:140546 CAPLUS  
 DOCUMENT NUMBER: 76:140546  
 TITLE: Hypotensive 1-(4-piperidyl)indenes  
 INVENTOR(S): Paragamian, Vasken  
 PATENT ASSIGNEE(S): McNeil Laboratories, Inc.  
 SOURCE: U.S., 5 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3644372	A	19720222	US 1970-2959	19700114

PRIORITY APPLN. INFO.:  
 GI For diagram(s), see printed CA Issue.  
 AB Hypotensive piperidylindenes (I and II) (R = H, Ph) and their fumarates were prepared by reduction of the corresponding 2-(or 3)-(1-methyl-4-piperidyl)-1-indanone (prepared by 3 multistep methods) by NaBH<sub>4</sub> (R = H) or PhLi (R = Ph) and H<sub>2</sub>SO<sub>4</sub>-dehydration of the resulting indanol. Thus, Pt oxide-catalyzed hydrogenation of 4-(β-carbethoxy-α-phenylvinyl)-1-methylpyridinium iodide (from MeI reaction with Et β-phenyl-4-pyridineacrylate) gave Et 1-methyl-β-phenyl-4-piperidinepropionate (as the fumarate), which was hydrolyzed with NaOH and the product treated with polyphosphoric acid to give 3-(1-methyl-4-piperidyl)-1-oxoindane fumarate, which was treated with NaBH<sub>4</sub>, then 2M H<sub>2</sub>SO<sub>4</sub> to give I (R = H).  
 IT 20856-03-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 20856-03-5 CAPLUS  
 CN 1H-Indene-2-carboxamide, 2,3-dihydro-2-(1-methyl-4-piperidyl)-1-oxo- (9CI) (CA INDEX NAME)



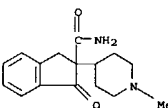
ANSWER 16 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 20.5-160°; fumarate m. 179-80°. Redn. of XV with NaBH<sub>4</sub> yields the corresponding indanol (XVI), fumarate m. 210-11°. Reaction of XV and PhLi gives 1-phenyl-3-(1-methyl-4-piperidyl)-1-indanol (XVII), m. 171-2°. Dehydration of XVII gives the corresponding indene (XVIII) gives the corresponding indan, fumarate m. 168-9°. Dehydration of XVI gives the corresponding indene; fumarate m. 209-11°.  
 IT 20856-03-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 20856-03-5 CAPLUS  
 CN 1H-Indene-2-carboxamide, 2,3-dihydro-2-(1-methyl-4-piperidyl)-1-oxo- (9CI) (CA INDEX NAME)



ANSWER 16 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1970:43462 CAPLUS  
 DOCUMENT NUMBER: 72:43462  
 TITLE: 2- and 3-(4-piperidyl)indenes and indanols as hypotensive agents  
 INVENTOR(S): Paragamian, Vasken  
 PATENT ASSIGNEE(S): McNeil Laboratories, Inc.  
 SOURCE: U.S., 5 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3476759	A	19691104	US 1967-635368	19670502

PRIORITY APPLN. INFO.:  
 GI For diagram(s), see printed CA Issue.  
 AB The title compounds, useful for their pharmacol. properties as hypotensive agents, are prepared. Thus, Et (1-methyl-4-piperidyl)ene)cyanoacetate is hydrogenated in the presence of PtO<sub>2</sub> to the corresponding saturated cyanoacetate (I), b.p. 123-5°, HCl salt m. 163-4°. I, when treated with NaH followed by benzyl chloride, yields 2-benzyl-1-methyl-4-piperidineacetate (II); HCl salt m. 208-9°. Hydrolysis of II in methanolic NaOH yields the free acid (III), m. 244°. III is cyclized in the presence of polyphosphoric acid to 2-(1-methyl-4-piperidyl)-1-oxo-2-indancarboxamide (IV), m. 165-5.5°, which is hydrolyzed and decarboxylated by refluxing in 19% aqueous HCl to yield 2-(1-methyl-4-piperidyl)-1-oxoindan (VI), m. 99.5-101°. V reacts with PhLi to give 2-(1-methyl-4-piperidyl)-1-phenyl-1-indanol (VI), m. 185-55°. Similarly, V and p-chlorophenyllithium yields 1-(p-chlorophenyl)-2-(1-methyl-4-piperidyl)-1-indanol. m. 221-2°. Reduction of IV with NaBH<sub>4</sub> in iso-PROH yields 1-hydroxy-2-(1-methyl-4-piperidyl)-2-indancarboxamide, m. 224-5°. V is similarly reduced to 2-(1-methyl-4-piperidyl)-1-indanol (VII), m. 179.5-180°. Dehydration of VI with 2M H<sub>2</sub>SO<sub>4</sub> yields the corresponding indene (VIII), fumarate m. 209-10°. VII similarly treated yields the corresponding indene (IX), fumarate m. 204-5°. Hydrogenation of VIII in AcOH with PtO<sub>2</sub> yields 1-phenyl-2-(1-methyl-4-piperidyl)indan (X), m. 125-6.5°; X.HCl m. 247-8°. IX similarly treated yields the corresponding indan, fumarate m. 220-1°. The indans can also be prepared from di-Me (4-pyridylmethylene)malonate (X I), m. 72-3°, which is formed from the reaction of 4-pyridinecarboxaldehyde, di-Me malonate, and benzoic acid in piperidine and C<sub>6</sub>H<sub>6</sub>. XI and PhMgBr yield di-Me (phenyl-4-pyridyl)methylmalonate (XII), m. 92.5-94°, which is hydrolyzed and acidified to the free acid, m. 250°. When treated with polyphosphoric acid, the 3-(4-pyridyl)-1-indanone (XIII), m. 111-12°, is formed. Hydrogenation of XIII in HCl and PtO<sub>2</sub> yields the corresponding indanol; fumarate m. 166.5-7.5°. A third method starts with Et β-phenylvinyl-4-pyridylacrylate and MeI to yield 4-[β-(carbethoxy)-α-phenylvinyl]-1-methylpyridinium iodide, m. 181-2.5°. This is hydrogenated to Et 1-methyl-β-phenyl-4-piperidinepropionate (XIV), fumarate m. 123-4.5°, hydrolysis of XIV and acidification yields 3-(1-methyl-4-piperidyl)-1-oxoindan (XV),



ANSWER 17 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1969:3793 CAPLUS  
 DOCUMENT NUMBER: 70:3793  
 TITLE: Synthesis and biological activity of piperidylindenes  
 AUTHOR(S): Paragamian, V.; Gardocki, J. F.  
 CORPORATE SOURCE: Res. Div., McNeil Lab., Inc., Fort Washington, PA, USA  
 SOURCE: Journal of Medicinal Chemistry (1968), 11(5), 1064-6  
 CODEN: JMCMAJ; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 70:3793  
 AB A variety of N-methylpiperidylindenes and -indenes were prepared and evaluated for analgetic activity by the phenylquinone writhing and Haffner's assays. Of these, 2-(1-methyl-4-piperidyl)-3-phenylindene (I) was quite active in the phenylquinone-induced writhing assay, but inactive in Haffner's assay. I is possibly an aspirin-type analgetic.  
 IT 20856-03-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 20856-03-5 CAPLUS  
 CN 1H-Indene-2-carboxamide, 2,3-dihydro-2-(1-methyl-4-piperidyl)-1-oxo- (9CI) (CA INDEX NAME)

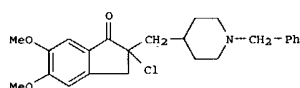
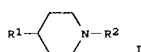


10/069,073

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:167968 CAPLUS  
 DOCUMENT NUMBER: 134:207723  
 TITLE: Preparation of 4-substituted piperidine derivatives

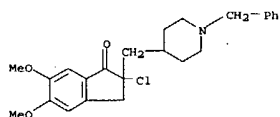
88  
 acetylcholinesterase inhibiting remedies for senile dementia  
 INVENTOR(S): Iimura, Yoichi; Koeasa, Takashi  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 39 pp.  
 CODEN: PIXXD2  
 LANGUAGE: Patent  
 Japanese  
 DOCUMENT TYPE: Patent  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016105	A1	20010308	WO 2000-JP5968	20000901
W: CA, CN, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2001139547	A2	20010522	JP 2000-262103	20000831
EP 1209151	A1	20020529	EP 2000-956885	20000901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PRIORITY APPLN. INFO.:		JP 1999-247115 A 19990901		
		WO 2000-JP5968 W 20000901		
OTHER SOURCE(S):		MARPAT 134:207723		
GI				



AB The title compds. I [R1 = (un)substituted indanonylalkyl (generic structure given), etc.; R2 = cycloalkylmethyl, etc.] are prepared The title compound II.HCl in vitro showed IC50 of 2 nM against acetylcholinesterase, vs. IC50 of 6.7 nM shown by donepezil hydrochloride.  
 IT 329010-62-0P 329010-63-1P 329010-64-2P 329010-65-3P 329010-66-4P 329010-67-5P

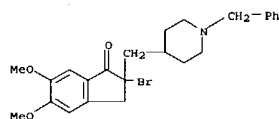
L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 329010-68-6P 329010-69-7P 329010-70-0P  
 329010-71-1P 329010-72-2P 329010-73-3P  
 329010-74-4P 329010-75-5P 329010-76-6P  
 329010-77-7P 329010-78-8P 329010-79-9P  
 329010-80-2P 329010-81-3P 329010-82-4P  
 329010-83-5P 329010-84-6P 329010-85-7P  
 329010-86-8P 329010-87-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) [prepn. of piperidine derivs. as acetylcholinesterase-inhibiting remedies for senile dementia]  
 RN 329010-62-0 CAPLUS  
 CN 1H-Inden-1-one, 2-chloro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



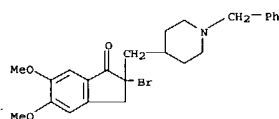
● HCl

RN 329010-63-1 CAPLUS  
 CN 1H-Inden-1-one, 2-chloro-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)  
 RN 329010-64-2 CAPLUS  
 CN 1H-Inden-1-one, 2-bromo-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

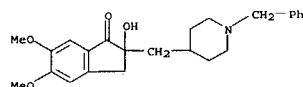


RN 329010-65-3 CAPLUS  
 CN 1H-Inden-1-one, 2-bromo-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



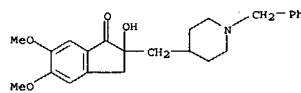
● HCl

RN 329010-66-4 CAPLUS  
 CN 1H-Inden-1-one, 2,3-dihydro-2-hydroxy-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

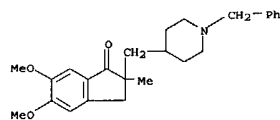


● HCl

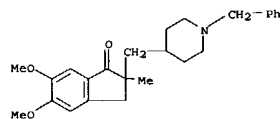
RN 329010-67-5 CAPLUS  
 CN 1H-Inden-1-one, 2,3-dihydro-2-hydroxy-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 329010-68-6 CAPLUS  
 CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-methyl-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



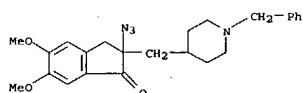
RN 329010-69-7 CAPLUS  
 CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-methyl-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

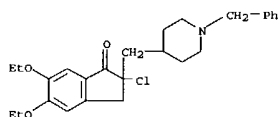
RN 329010-70-0 CAPLUS  
 CN 1H-Inden-1-one, 2-azido-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



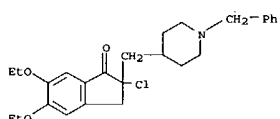
● HCl

RN 329010-71-1 CAPLUS  
 CN 1H-Inden-1-one, 2-chloro-5,6-diethoxy-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



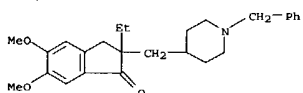
● HCl

RN 329010-72-2 CAPLUS  
 CN 1H-Inden-1-one, 2-chloro-5,6-diethoxy-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

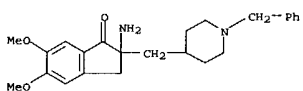


RN 329010-73-3 CAPLUS  
 CN 1H-Inden-1-one, 2-chloro-5-ethoxy-2,3-dihydro-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)

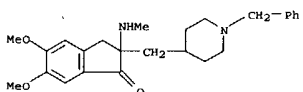
L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



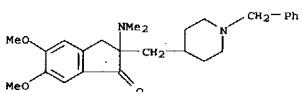
RN 329010-77-7 CAPLUS  
 CN 1H-Inden-1-one, 2-amino-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 329010-78-8 CAPLUS  
 CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(methylamino)-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

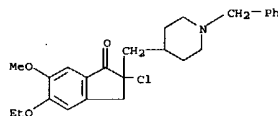


RN 329010-79-9 CAPLUS  
 CN 1H-Inden-1-one, 2-(dimethylamino)-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



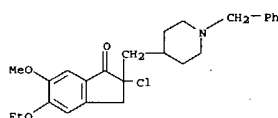
RN 329010-80-2 CAPLUS  
 CN Acetamide, N-[2,3-dihydro-5,6-dimethoxy-1-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

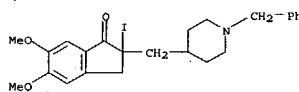


● HCl

RN 329010-74-4 CAPLUS  
 CN 1H-Inden-1-one, 2-chloro-5-ethoxy-2,3-dihydro-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

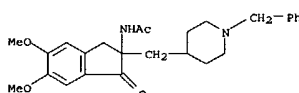


RN 329010-75-5 CAPLUS  
 CN 1H-Inden-1-one, 2,3-dihydro-2-iodo-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

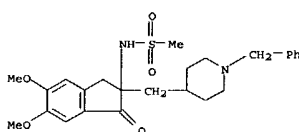


RN 329010-76-6 CAPLUS  
 CN 1H-Inden-1-one, 2-ethyl-2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

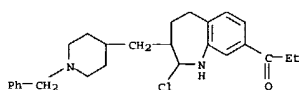
L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



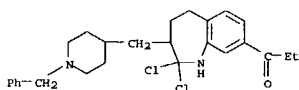
RN 329010-81-3 CAPLUS  
 CN Methanesulfonamide, N-[2,3-dihydro-5,6-dimethoxy-1-oxo-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 329010-82-4 CAPLUS  
 CN 1-Propanone, 1-[2-chloro-2,3,4,5-tetrahydro-3-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-1-benzazepin-8-yl]- (9CI) (CA INDEX NAME)



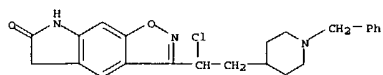
RN 329010-83-5 CAPLUS  
 CN 1-Propanone, 1-[2,2-dichloro-2,3,4,5-tetrahydro-3-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1H-1-benzazepin-8-yl]- (9CI) (CA INDEX NAME)



RN 329010-84-6 CAPLUS  
 CN 6H-Pyrrolo[3,2-f]-1,2-benzisoxazol-6-one, 3-[1-chloro-2-[[1-(phenylmethyl)-4-piperidinyl]ethyl]-5,7-dihydro- (9CI) (CA INDEX NAME)

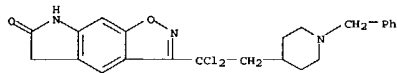
10/069,073

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



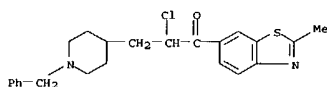
15

RN 329010-85-7 CAPLUS  
CN 6H-Pyrrolo[3,2-f]-1,2-benzisoxazol-6-one, 3-[1,1-dichloro-2-[1-(phenylmethyl)-4-piperidinyl]ethyl]-5,7-dihydro- (9CI) (CA INDEX NAME)



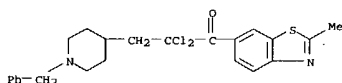
16

RN 329010-86-8 CAPLUS  
CN 1-Propanone, 2-chloro-1-(2-methyl-6-benzothiazolyl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



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RN 329010-87-9 CAPLUS  
CN 1-Propanone, 2,2-dichloro-1-(2-methyl-6-benzothiazolyl)-3-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



18

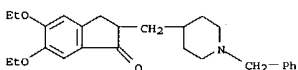
IT 9000-81-1, Acetylcholinesterase  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(preparation of piperidine deriva. as acetylcholinesterase-inhibiting remedies for senile dementia)

RN 9000-81-1 CAPLUS  
CN Esterase, acetyl choline (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

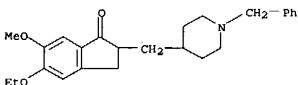
L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 120014-09-7 CAPLUS  
CN 1H-Inden-1-one, 5,6-diethoxy-2,3-dihydro-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



IT 329010-88-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of piperidine deriva. as acetylcholinesterase-inhibiting remedies for senile dementia)

RN 329010-88-0 CAPLUS  
CN 1H-Inden-1-one, 5-ethoxy-2,3-dihydro-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

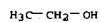


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IT 64-17-5, Ethanol, reactions 74-88-4, Iodomethane, reactions 26628-22-8, Sodium azide 120013-57-2  
120014-06-4 120014-09-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of piperidine deriva. as acetylcholinesterase-inhibiting remedies for senile dementia)

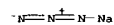
RN 64-17-5 CAPLUS  
CN Ethanol (9CI) (CA INDEX NAME)



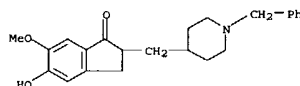
RN 74-88-4 CAPLUS  
CN Methane, iodo- (8CI, 9CI) (CA INDEX NAME)



RN 26628-22-8 CAPLUS  
CN Sodium azide (Na(N3)) (9CI) (CA INDEX NAME)



RN 120013-57-2 CAPLUS  
CN 1H-Inden-1-one, 2,3-dihydro-5-hydroxy-6-methoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 120014-06-4 CAPLUS  
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

